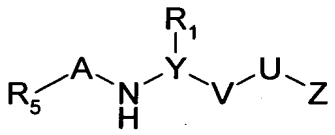
Claims

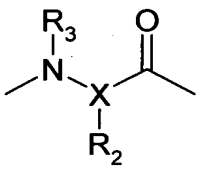
1. A compound of the formula I



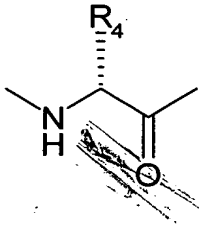
(I)

where

A is P_2—P_1 with

P_1 = 

and

P_2 =  ;

R_1 is H or $-(CH_2)_aCOOR_6$ with a = 0, 1, 2, 3, 4 or 5, preferably with a= 0, 1 or 2, where R_6 is a branched or unbranched alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 3 C atoms, especially ethyl;

R_2 is an H, a branched or unbranched alkyl radical having 1 to 8 C atoms, preferably having 1 to 3 C atoms, or

$-(CH_2)_cCOOR_8$ with c = 1, 2, 3 or 4, where R_8 is H or a branched or unbranched alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 3 C atoms, especially ethyl, or

$-(CH_2)_d$-OR_9 with d = 1, 2, 3 or 4, where R_9 is H, or

$-(CH_2)_eOR_{10}$, $-(CH_2)_eSR_{10}$, $-(CH_2)_e$-guanidino, $-(CH_2)_e$-imidazole or $-(CH_2)_eNHR_{10}$ with e = 1, 2, 3, 4 or 5, where R_{10} is H, a branched or un-

branched alkyl radical having 1-16, in particular 1-8, especially 1-3, C atoms or a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 3, C atoms, and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom;

R_3 is H or $-(CH_2)_bR_7$ with b = 1, 2, 3, 4, 5, 6, 7 or 8, preferably with b = 2 or 3, where R_7 is H, a branched or unbranched alkyl radical having 1 to 10 C atoms, preferably having 1 to 3 C atoms, or a charged radical, preferably a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, $-(CH_2)_jNH_2$, $-(CH_2)_j$-amidino, $-(CH_2)_j$-hydroxyamidino or $-(CH_2)_j$-guanidino group with j = 0, 1 or 2, where R_{13} is H or an alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 4, especially ethyl;

R_4 is a branched or unbranched alkyl radical having 1 to 8, preferably 1 to 3, C atoms, $-(CH_2)_fOR_{11}$, $-(CH_2)_fSR_{11}$, $-(CH_2)_f$-guanidino, $-(CH_2)_f$-imidazole, $-(CH_2)_f-R_{11}$ or $-(CH_2)_fNHR_{11}$ with f = 1, 2, 3, 4 or 5, preferably 1 or 2, in particular 1, where R_{11} is H, a branched or unbranched alkyl radical having 1 to 16, preferably 1 to 8, in particular 1-4 C atoms, especially tbutyl or a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 3, C atoms, and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom; where P_2 in the structure A of the formula I is in the D configuration;

R_5 is $-(CH_2)_g(CH_3)_h$, $-(CH_2)_i$-aryl with g + h = i = 0, 1, 2 or 3, $-SO_2R_{12}$, $-COR_{12}$, or $-COOR_{12}$, where R_{12} is a branched or unbranched alkyl having 1-16, preferably 1 to 8, in particular 1-4, especially 1-2, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroalkyl radical, an adamantyl, a camphor, a cyclohexylmethyl radical, preferably benzyl,

where R_5 may be modified with a charged or uncharged group, preferably a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, $-(CH_2)_jNH_2$, $-(CH_2)_j$-amidino, $-(CH_2)_j$-hydroxyamidino or $-(CH_2)_j$-guanidino group with j = 0, 1 or 2, where R_{13} is H or an alkyl radical having preferably 1 to 6 C atoms, in particular 1 to 4, especially ethyl;

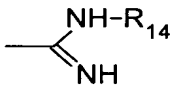
U is a phenyl or cyclohexyl radical;
a heterophenyl or heterocyclohexyl radical having preferably at least one N, S or O as heteroatom, in particular pyridine, piperidine or pyrimidine, or
is a thiophene radical;

V is $(CH_2)_n$ with n = 0, 1, 2 or 3, preferably 0;

X is N or CH, preferably CH;

Y is N or $(CH)_m$ with m = 0 or 1, preferably CH;

Z occurs in the 3 or 4 position and is an aminomethyl, a guanidino function or an amidino group



where R_{14} is H, OH, NH_2, $-COR_{15}$ or $-COOR_{15}$, where R_{15} is a branched or unbranched alkyl radical having 1 to 16, preferably 1 to 8, in particular 1 to 4, especially 1 to 2, C atoms or a substituted or unsubstituted aryl or heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably has 1 to 16, in particular 1 to 8, especially 1 to 4 and particularly preferably 1 to 2, C atoms and the aryl or heteroaryl radical preferably has 4 to 14, in particular 6 to 10, especially 6, C atoms and preferably 1 to 3 N as heteroatom;
characterized in that one or more charged radicals preferably derived from -COOH, $-CH(COOH)_2$, $-SO_2H$, NH_2, an amidino, hydroxyamidino, amidrazono or guanidino group are present in the radicals R_1, R_2, R_3 or R_5;

or a compound of the formula I in the form of a prodrug or in the form of its salt.

2. The compound as claimed in claim 1, where U is substituted at 1, 2 or 3 positions preferably by a halogen, in particular fluorine or chlorine, or a methyl, ethyl, propyl, methoxy, ethoxy or propoxy radical.

3. The compound as claimed in claim 1 or 2, where a carboxyl group is present protected as ester, preferably as ethyl ester, and is converted into a carboxyl group in the manner of a prodrug only after intake in the body.

4. The compound as claimed in at least one of claims 1 to 3, where R_9 in this case is an alkylcarbonyl, aralkylcarbonyl, alkyloxycarbonyl or aralkyloxycarbonyl radical, where the alkyl radical preferably has 1 to 6, in particular 1 to 4, C atoms and the aryl radical preferably has 5 to 8, in particular 6, C atoms; and where R_9 is converted into a carboxyl group in the manner of a prodrug only after intake in the body.

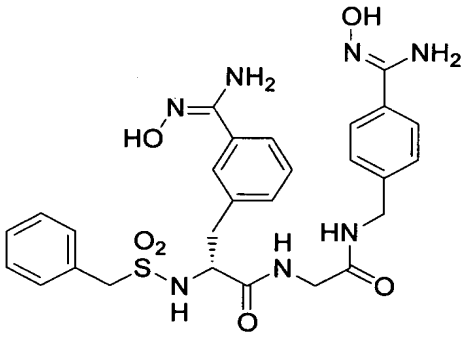
5. The compound as claimed in at least one of claims 1 to 4, characterized in that P_2 in structure A of the formula I is derived from one of the following amino acids in the D configuration: D-2,3-diaminopropionic acid, D-2,4-diaminobutyric acid, D-ornithine, D-citrulline D-homocitrulline, D-norcitrulline, D-arginine, D-homoarginine, D-norarginine, D-4-guanidinophenylalanine, D-4-guanidinophenylhomoalanine, D-4-guanidinophenylglycine, D-3-guanidinophenylalanine, D-3-guanidinophenylhomoalanine, D-3-guanidinophenylglycine, D-4-amidinophenylalanine, D-4-amidinophenylhomoalanine, D-4-amidinophenylglycine, D-3-amidinophenylalanine, D-3-amidinophenylhomoalanine, D-3-amidinophenylglycine, D-4-aminomethylphenylalanine, D-4-aminomethylphenylhomoalanine, D-4-aminomethylphenylglycine, D-3-aminomethylphenylalanine, D-3-aminomethylphenylhomoalanine, D-3-aminomethylphenylglycine, D-4-guanidinomethylphenylalanine, D-4-guanidinomethylphenylhomoalanine, D-4-guanidinomethylphenylglycine, 3-guanidinomethylphenylalanine, D-3-

guanidinomethylphenylhomoalanine, D-3-guanidinomethylphenylglycine, D-4-piperidinylalanine, D-4-piperidinylhomoalanine, D-4-piperidinylglycine, D-4-N-(amidino)piperidinylalanine, D-4-N-(amidino)piperidinylhomoalanine, D-4-N-(amidino)piperidinylglycine, D-3-piperidinylalanine, D-3-piperidinylhomoalanine, D-3-piperidinylglycine, D-3-amidinopiperidinylalanine, D-3-amidinopiperidinylhomoalanine, D-3-amidinopiperidinylglycine, D-4-aminocyclohexylalanine in cis or trans, D-4-aminocyclohexylhomoalanine in cis or trans, D-4-aminocyclohexylglycine in cis or trans, n-butylamidinoglycine, n-pentylamidinoglycine, n-propylamidinoglycine, D-alanine(3-(1-N-piperazinyl) or D-homoalanine(3-(1-N-piperazinyl).

6. The compound as claimed in at least one of claims 1 to 4, characterized in that P_2 in the structure A of the formula I is derived from one of the following amino acids in the D configuration: D-canavanine, D-homocanavanine, D-norcanavanine, 2-amino-4-amidinohydrazonobutyric acid, 2-amino-3-amidinohydrazonoproprionic acid, 2-amino-5-amidinohydrazonopentanoic acid, 2-amino-4-(pyridin-4-ylamino)butyric acid, 2-amino-4-(pyridin-4-ylamino)propionic acid, 2-amino-4-(pyridin-4-ylamino)pentanoic acid, 4-imidazolylpropargylglycine, D-histidine, D-homohistidine, D-histidine-(1-methyl), D-homohistidine-(1-methyl), D-histidine-(3-methyl), D-homohistidine-(3-methyl), D-alanine(4-[5-2(-amino)imidazoyl], D-homoalanine(4-[5-2(-amino)imidazoyl], D-glycine(4-[5-2(-amino)imidazoyl], D-alanine(4-pyridyl), D-homoalanine(4-pyridyl), D-glycine(4-pyridyl), D-alanine(3-pyridyl), D-homoalanine(3-pyridyl), D-glycine(3-pyridyl), D-alanine(2-pyridyl), D-homoalanine(2-pyridyl), D-glycine(2-pyridyl), D-alanine(3-(2-pyrimidinyl), D-homoalanine(3-(2-pyrimidinyl), D-alanine(3-(5-pyrimidinyl), D-homoalanine(3-(5-pyrimidinyl), D-2-amino-3-(2-aminopyrimidin-5-yl)propionic acid, D-2-amino-4-(2-amino-pyrimidin-5-yl)butyric acid, D-alanine(3-(2-benzimidazolyl)), D-homoalanine(3-(2-benzimidazolyl)), D-alanine(3-(3-quinolinyl),

D-homoalanine(3-(3-quinolinyl), D-tryptophan, D-homotryptophan, D-tryptophan substituted by aminoalkyl groups on the indole ring, D-homotryptophan substituted by aminoalkyl groups on the indole ring, D-2-amino-3-(6-aminopyridin-3-yl)propionic acid, D-2-amino-4-(6-aminopyridin-3-yl)butyric acid, D-2-amino-3-(6-amino-2-methylpyridin-3-yl)propionic acid, D-2-amino-4-(6-amino-2-methylpyridin-3-yl)butyric acid, D-2-amino-3-(6-amino-2,4-dimethylpyridin-3-yl)propionic acid, D-2-amino-4-(6-amino-2,4-dimethylpyridin-3-yl)butyric acid, D-4-hydroxyamidinophenylalanine, D-4-hydroxyamidinophenylhomoalanine, D-4-hydroxyamidinophenylglycine, D-3-hydroxyamidinophenylalanine, D-3-hydroxyamidinophenylhomoalanine, D-3-hydroxyamidinophenylglycine, D-4-aminophenylalanine, D-4-aminophenylhomoalanine, D-4-aminophenylglycine, D-3-aminophenylalanine, D-3-aminophenylhomoalanine, D-3-aminophenylglycine.

7. A compound of the formula I, characterized in that the compound has the following structure:



where the hydroxyamidino groups present in the structure are converted into the analogous amidino groups in the manner of a prodrug only after intake in the body, resulting in the inhibitor structure with inhibitory activity.

8. The compound as claimed in at least one of claims 1 to 7, characterized in that the substituent on the substituted aryl, heteroaryl, aralkyl or heteroaralkyl radical is a halogen, preferably fluorine, chlorine or bromine, in particular fluorine or chlorine.

9. The compound as claimed in at least one of claims 1 to 8, characterized in that the compounds are preferably in the form of salts, preferably with mineral acids, preferably as hydrochlorides, or preferably as salts with suitable organic acids.

10. The compound as claimed in claim 9, characterized in that preferred salts of mineral acids are also sulfates, and suitable organic acids are, for example, acetic acid, formic acid, methylsulfonic acid, succinic acid, malic acid or trifluoroacetic acid, with preferred salts of organic acids being acetates.

11. A method for preparing a compound as claimed in at least one of claims 1 to 10, characterized in that the appropriate amino acids are coupled sequentially onto a 4-acetyloxamidinobenzylamine, with the N-terminal amino acid either already carrying the R_5 radical or the latter subsequently being linked thereto.

12. A medicament comprising a compound as claimed in at least one of claims 1 to 10 and pharmaceutically suitable excipients and/or additives.

13. The medicament as claimed in claim 12, where the medicament is employed in the form of a tablet, of a coated tablet, of a capsule, of a pellet, suppository, of a solution, in particular of a solution for injection or infusion, of eyedrops, nose and eardrops, of a syrup, of a capsule, of an emulsion or suspension, of a pessary, stick, aerosol, dusting powder, of a paste, cream or ointment.

14. The use of a compound as claimed in at least one of claims 1 to 10 or of a medicament as claimed in either of claims 12 or 13 for the therapy or prophylaxis of a cardiovascular disorder or of a thromboembolic event, in particular in oral, subcutaneous, intravenous or transdermal form.

15. The use of a compound as claimed in at least one of claims 1 to 10 or of a medicament as claimed in either of claims 12 or 13 for the diagnosis of a thromboembolic event.